EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	163	514/45.ccls.	US-PGPUB	OR	ON	2005/12/21 16:31
L2	236	514/46.ccls.	US-PGPUB	OR	ON	2005/12/21 16:31
L3	162	514/47.ccls.	US-PGPUB	OR	ON	2005/12/21 16:32
L4	51	514/48.ccls.	US-PGPUB	OR	ON	2005/12/21 16:32
L5	218	514/49.ccls.	US-PGPUB	OR	ON	2005/12/21 16:32
L6	251	514/50.ccls.	US-PGPUB	OR	ON	2005/12/21 16:32
L7	52	514/51.ccls.	US-PGPUB	OR	ON	2005/12/21 16:32
L8	856	1234567	US-PGPUB	OR	ON	2005/12/21 16:32
L9	282	8 and (hiv hbv hcv hdv hepatitis)	US-PGPUB	OR	ON	2005/12/21 16:32
L10	135	8 and (hiv hbv hcv hdv hepatitis). clm.	US-PGPUB	OR	ON	2005/12/21 16:32
S1	2	"6004939".pn.	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2005/12/21 14:01
S2	. 3	"20020055483"	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2005/12/21 14:50
S3	3	"6908924".pn.	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2005/12/21 16:31

(09/834,596)

=> file registry
COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE TOTAL ENTRY SESSION 0.42 0.42

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STRUCTURE FILE UPDATES: 20 DEC 2005 HIGHEST RN 870448-61-6 DICTIONARY FILE UPDATES: 20 DEC 2005 HIGHEST RN 870448-61-6

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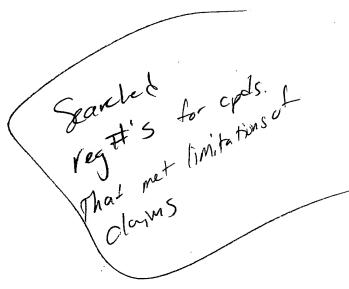
Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

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=> s 11 1.5 1 L1 => s 12 4 L2 L6 => s 13L7 2 L3

=> s 14 L83 L4

=> 15:16:17:18

L5 IS NOT A RECOGNIZED COMMAND

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10 F3 3 => s 15 16 17 18 MISSING OPERATOR L5 L6

The search profile that was entered contains terms or nested terms that are not separated by a logical operator.

=> s 15 or 16 or 17 or 18 8 L5 OR L6 OR L7 OR L8

=> d 1-8 19 bib abs hitstr

ANSWER 1 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN L9

2005:447845 CAPLUS AN

DN 143:125824

A Virtual Screening Approach for Thymidine Monophosphate Kinase Inhibitors TIas Antitubercular Agents Based on Docking and Pharmacophore Models

Gopalakrishnan, B.; Aparna, V.; Jeevan, J.; Ravi, M.; Desiraju, G. R. ΑU

Bioinformatics Division, Advanced Technology Centre, TATA Consultancy Services Limited, Hyderabad, 500 081, India Journal of Chemical Information and Modeling (2005), 45(4), 1101-1108

CODEN: JCISD8; ISSN: 1549-9596

-American Chemical Society PB

Journal 🐇 🔏 DT

SO

English: LΑ

AB-Docking and pharmacophore screening tools were used to examine the binding of ligands in the active site of thymidine monophosphate kinase of Mycobacterium tuberculosis. Docking anal. of deoxythymidine monophosphate (dTMP) analogs suggests the role of hydrogen bonding and other weak interactions in enzyme selectivity. Water-mediated hydrogen-bond networks and a halogen-bond interaction seem to stabilize the mol. recognition. A pharmacophore model was developed using 20 dTMP analogs. pharmacophoric features were complementary to the active site residues involved in the ligand recognition. On the basis of these studies, a

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composite screening model that combines the features from both the docking anal. and the pharmacophore model was developed. The composite model was validated by screening a database spiked with 47 known inhibitors. The model picked up 42 of these, giving an enrichment factor of 17. The validated model was used to successfully screen an inhouse database of about 500,000 compds. Subsequent screening with other filters gave 186 hit mols.

IT 445249-34-3

RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(virtual screening approach for thymidine monophosphate kinase inhibitors as antitubercular agents based on docking and pharmacophore models)

RN 445249-34-3 -CAPLUS

CN 5'-Thymidylic acid, 3'-deoxy-3'-(hydroxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 42: THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER. 2 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:263230 -CAPLUS

DN 140:391434

TI Synthesis of potentially antiviral 2',3'-dideoxy-2'-fluoro-3'- (hydroxyamino) nucleosides

AU Wang; Songqing; Chang, Junbiao; Pan, Shifeng; Zhao, Kang

CS College of Pharmaceuticals and Biotechnology, Tianjin University, Tianjin, 300072, Peop. Rep. China

SO Helvetica Chimica Acta (2004), 87(2), 327-339 CODEN: HCACAV; ISSN: 0018-019X

PB Verlag Helvetica Chimica Acta

DT Journal .

LA English

OS CASREACT 140:391434

AB A seriés of novel 3'-(alkyl(hydroxy)amino)-2'-fluoronucleoside analogs were prepared via conjugate addition of N-methylhydroxylamine to various 2-fluorobutenolides. The products were obtained as single isomers under absolute control of stereochem. The crucial N-demethylation was readily achieved by means of DDQ oxidation, followed by nitrone/oxime exchange reaction. By this procedure, a variety of alkyl groups could be efficiently introduced at the 3'-N-atom of the nucleoside analogs, some of which might display potentially interesting anti-HIV properties.

IT 219841-81-3P 688036-01-3P

RL: SPN (Synthetic preparation); PREP (Preparation)

(synthesis of potentially antiviral N-alkyl

" dideoxyfluoro(hydroxyamino)nucleosides via stereoselective conjugate and addition followed by N-demethylation)

RN 219841-81-3 CAPLUS

HOLLING LONG

Styr Sire

CN 2(1H).-Pyrimidinone, 4-amino-1-[2,3-dideoxy-2-fluoro-3-(hydroxymethylamino)α-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 688036-01-3 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,3-dideoxy-2-fluoro-3-(hydroxymethylamino)- α -D-arabinofuranosyl]-5-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L9 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN
- AN 2004:184135 CAPLUS
- DN 141:7386 L
- TI Synthesis of β-L-2',3'-dideoxy-2'-fluoro-3'hydroxymethylarabinofuranosyl pyrimidine nucleosides
- AU Song, Jian; Wang, Xiao Lei; Xiang, Yue Jun; Chu, Chung K.; Schinazi, Raymond; Zhao, Kang
- CS The College of Pharmaceuticals and Biotechnology, Tianjin University, Tianjin, 300072, Peop. Rep. China
- SO Chinese Chemical Letters (2004), 15(2), 135-137 CODEN: CCLEE7; ISSN: 10(1-8417
- PB Chinese Chemical Society
- DT Journal
- LA English . . .
- OS CASREACT 141:7386
- AB β -L-2',3'-Dideoxy-2'-fluoro-3'-hydroxymethylarabinofuranosylthymine and cytosine were synthesized from L-xylose and found to be inactive against HIV-1 in acutely infected lymphocytes (no data).
- IT 367491-97-2P

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145 4 4 4 .

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(synthesis and antiviral activity of β -L-

dideoxyfluorohydroxymethyl arabinofuranosyl pyrimidine nucleosides) 367491-97-2 CAPLUS

RN 367491-97-2 CAPLUS
CN 2(1H)-Pyrimidinone, 4-amino-1-[2,3-dideoxy-2-fluoro-3-(hydroxymethyl)-

β-L-arabinofuranosyl] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT. 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:591551 CAPLUS

DN 139:270256

TI 3'-C-Branched-Chain-Substituted Nucleosides and Nucleotides as Potent Inhibitors of Mycobacterium tuberculosis Thymidine Monophosphate Kinase

AU Vanheusden, Veerle; Munier-Lehmann, Helene; Froeyen, Matheus; Dugue, Laurence; Heyerick, Arne; De Keukeleire, Denis; Pochet, Sylvie; Busson, Roger; Herdewijn, Piet; Van Calenbergh, Serge

CS Laboratory for Medicinal Chemistry (FFM), Ghent University, Ghent, 9000,

SO Journal of Medicinal Chemistry (2003), 46(18), 3811-3821 CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

OS CASREACT 139:270256

Thymidine monophosphate kinase (TMPK) of Mycobacterium tuberculosis AB (TMPKmt) represents an attractive target for blocking the bacterial DNA synthesis. In an attempt to find high-affinity inhibitors of TMPKmt, a cavity in the enzyme at the 3'-position was explored via the introduction of various substituents at the 3'-position of the thymidine monophosphate (dTMP) scaffold. Various 3'-C-branched chain substituted nucleotides in . the 2'-deoxyribo and ribo series were synthesized from one key intermediate. 2'-Deoxy analogs proved to be potent inhibitors of TMPKmt:3'-CH2NH2 (4), 3'-CH2N3 (3), and 3'-CH2F (5) nucleotides exhibit the highest affinities within this series, with Ki values of 10.5, 12, and 15 µM, resp. These results show that TMPKmt tolerates the introduction of sterically demanding substituents at the 3'-position. Ribo analogs experience a significant affinity decrease, which is probably due to steric hindrance of Tyr103 in close vicinity of the 2'-position. Although the 51-0-phosphorylated compds. have somewhat higher affinities for the enzyme, the parent nucleosides generally exhibit affinities for TMPKmt in the same order of magnitude and display a superior selectivity profile vs. human TMPK. This series of inhibitors holds promise for the development of a new class of antituberculosis agents.

IT 445249-34-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and structure-activity relationship of substituted nucleosides wand nucleotides as potent inhibitors of Mycobacterium tuberculosis thymidine monophosphate kinase)

RN 445249-34-3° CAPLUS

CN 5!-Thymidylic acid, 3'-deoxy-3'-(hydroxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2002:775003 CAPLUS

DN 138:348290

TΙ Thymidine (monophosphate) analogues as Mycobacterium tuberculosis thymidylate kinase inhibitors

Van Rompaey, Philippe; Veerle, Vanheusden; Pochet, Sylvie; Munier-Lehmann, ΑU Helene; Froeyen, Matheus; Herdewijn, Piet; Van Calenbergh, Serge

CS

Laboratory for Medicinal Chemistry, Ghent University, Ghent, B-9000, Belg. Collection Symposium Series (2002), 5 (Chemistry of Nucleic Acid SO Components), 393-395 CODEN: CSYSFN

PB Institute of Organic Chemistry and Biochemistry, Academy of Sciences of the Czech Republic

DTJournal (~ '

English LΑ

AB The authors introduced Mycobacterium tuberculosis thymidine monophosphate kinase (TMPKmt), a key enzyme of nucleotide metabolism with unique structural and catalytic features, as a potentially attractive target for the rational design of inhibitors. Structural modification of the dTMP-scaffold resulted in the identification of nucleosidic inhibitors of TMPKmt, that exhibit affinities comparable to the natural substrate. A brief symposium summarizing affinities of some thymidine analogs for Mycobacterium tuberculosis thymidine monophosphate kinase is presented with some discussion on the structure-activity.

ΙT 445249-34-3

North

RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses) '

://(thymidine monophosphate analogs as Mycobacterium tuberculosis thymidylate kinase inhibitors)

RN 445249-34-3 CAPLUS

CN5 -Thymidylic acid, 3'-deoxy-3'-(hydroxymethyl)- (9CI) (CA INDEX NAME)

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RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2002:267567 CAPLUS

DN 137:155139

TI Structure-based design of inhibitors for M. Tuberculosis thymidine monophosphate kinase

AU Vanheusden, Veerle; Herdewijn, Piet; Van Calenbergh, Serge

CS Laboratory for Medicinal Chemistry (FFW), Rijksuniversiteit Gent, Ghent, B-9000, Belg.

SO Journal de Pharmacie de Belgique (2002), (Hors Serie 1), 41-43 CODEN: JPBEAJ; ISSN: 0047-2166

PB Association Pharmaceutique Belge, Service Scientifique

DT Journal

LA English

OS CASREACT 137:155139

GI

AB By replacing the 3'-OH group of thymidine, several 3'-C-branched nucleosides and nucleotides were prepared, and tested for their inhibitional activity against M. tuberculosis thymidine monophosphate kinase (TB TMPK). Compds. (I; R = OH, F, N3, or NH2) and their corresponding 5'-O-monophosphates were prepared from 1,2-O-isopropylidene- α -D-xylo-furanose by a series of reactions including Swern oxidation, Wittig reaction, Vorbruggen base coupling, reduction, and fluorination. The 5-OH compds. showed Ki values of 10.5 - 20 μ M against TB TMPK; the 5-O-monophosphates were more active, with Ki 29 - 60.5 μ M.

IT 445249-34-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and evaluation of as inhibitors of M. tuberculosis thymidine monophosphate kinase)

RN 445249-34-3 CAPLUS

CN 5'-Thymidylic acid, 3'-deoxy-3'-(hydroxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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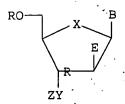
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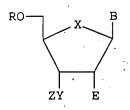
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MARPAT: 135:318659 ·

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L9
     ANSWER 7 OF, 8 CAPLUS COPYRIGHT 2005 ACS on STN
     2001:780927 CAPLUS
AN
DN
     135:318659
     Preparation of 3'-or 2'-hydroxymethyl substituted nucleoside and
ΤI
     nucleotides for treatment of hepatitis virus infections
IN
     Watanabe, Kyoichi A.; Pai, Balakrishna S.
     Pharmasset, Ltd., Barbados
PΑ
     PCT Int. Appl., 175 pp.
SO
     CODEN: PIXXD2
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             LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
             SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
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     WO 2001-US12050
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AB The present invention relates to a composition for and a method of treating hepatitis B virus (HBV) infection, hepatitis C virus (HCV) infection, hepatitis D virus (HDV) infection or a proliferative disorder in a patient using an effective amount of a compound selected from the group consisting of nucleoside or nucleotide I-IV mixts. of two or more wherein E is selected from the group consisting of H, OH, OMe, SH, SMe, NH2, NHMe, N, F, Cl, Br, COH, CO2-alkyl, OPh, OPhNO, NO, NO2, SCN, OCN, NCS, NCO, SOMe, SOMe; X is selected from the group consisting of O, S, NH, CH, CHF, CF; Y is selected from the group consisting of CH, NH, NOH, NMe, NEt, NOMe, CHF, CF; Z is selected from the group consisting of H, OH, OMe, SH, SMe, F, Cl, Br, I, NH, NHMe; B is a nucleobase, R is a phosphate derivative Pharmaceutical compns. comprising these compds. in combination with other HBV, HCV, or HDV agents is also disclosed. Thus, 1-[2,3-Dideoxy-2-β-fluoro-3-(Nhydroxy-N-iso-butylamino)- α -D-arabinofuranosyl]-5-fluoro-uracil was prepared and tested in vitro for its antiviral activity.

IT 219841-81-3P 367491-97-2P

III

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study; unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of or hydroxymethyl substituted nucleoside and nucleotides for treatment of hepatitis virus infections)

RN 219841-81-3 CAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[2,3-dideoxy-2-fluoro-3-(hydroxymethylamino)- α -D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 367491-97-2 CAPLUS

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CN 2(1H)-Pyrimidinone, 4-amino-1-[2,3-dideoxy-2-fluoro-3-(hydroxymethyl)-

Absolute stereochemistry.

L9 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1998:782629 CAPLUS

DN 130:125332

TI Concerted Conjugate Addition of Nucleophiles to Alkenoates. 2. Synthesis of 2',3'-Dideoxy-2'- β -fluoro-3'-(N-hydroxy-N- methylamino)-D- arabinofuranosyl Nucleosides

AU Pan, Shifeng; Wang, Jianwu; Zhao, Kang

CS Department of Chemistry, New York University, NY, 10003, USA

SO Journal of Organic Chemistry (1999), 64(1), 4-5

CODEN: JOCEAH; ISSN: 0022-3263

PB American Chemical Society

DT Journal :

LA English

GI

AB Synthesis of 2',3'-dideoxy-2'-fluoro-3'-(N-hydroxyl-N-methylamino)-D-arabino-furanosyl nucleosides (I; base = uracil, thymine, cytosine), via conjugate addition of N-methyl-hydroxylamine to lactone (II; X = H, F) is reported. The reaction took place in a regio- and stereo-specific manner, determined by the bulky 5'-protecting group. Preliminary results showed both α - and β -anomers of I (base = cytosine) had anti-HIV activity in vitro, and high toxidity of β -anomer against CEM cell lines.

219841-81-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological

study); PREP (Preparation)

"... (preparation and biol activity of in the synthesis of 2',3'-dideoxy-2'β-fluoro-3'-(N-hydroxy N- methylamino)-D-arabinofuranosyl
nucleosides)

RN 219841-81-3' CAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-[2,3-dideoxy-2-fluoro-3-(hydroxymethylamino)- α -D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT